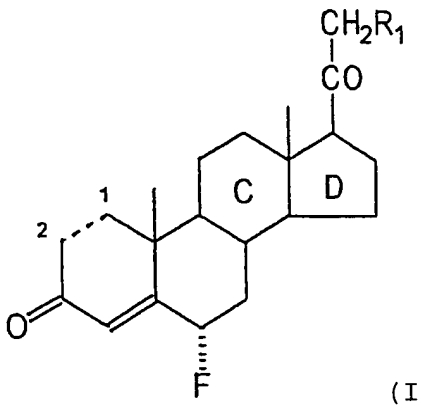
CLAIMS

I/we claim:

1. A process for the production of 6α-fluorpregnanes, of general formula (I):



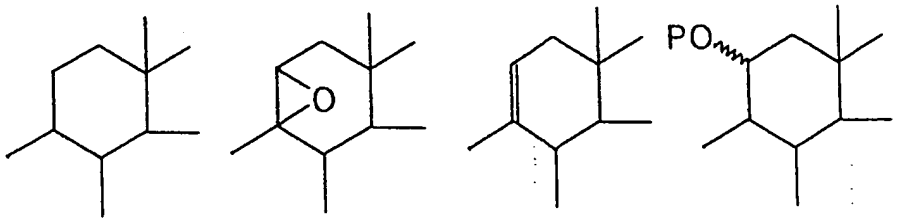
(I)

where

the dotted line between positions 1 and 2 represents a single or double bond;

R_1 is OH, $OCOR_2$, X, SO_3R_3 or an $(R_7)(R_8)(R_9)SiO$- group, where X is halogen, R_2 and R_3 are C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl, and R_7, R_8 and R_9, equal or different, are C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl;

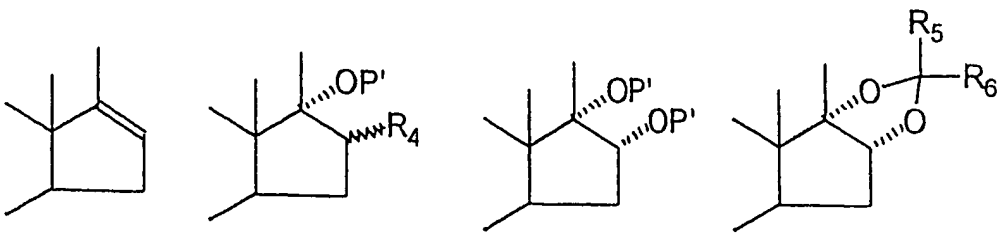
the C ring of the steroid is:



where

P is a protector group of the hydroxyl group; and

the D ring of the steroid is:



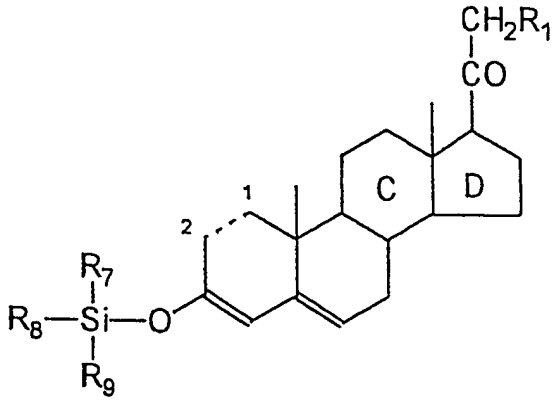
where

R_4 is H or CH_3 (α or β configuration);

R_5 and R_6, equal or different, are C_{1-4} alkyl; and

each P', independently, is H, a protector group of the hydroxyl or an $(R_7)(R_8)(R_9)Si$-group, where R_7, R_8 and R_9 have the previously mentioned meaning;

comprising reacting a 3-(trisubstituted)silyloxy-pregna-3,5-diene of general formula (IV):



(IV)

where

the dotted line between positions 1 and 2, R_1, R_7, R_8 and R_9, and the C and D rings of the steroid, have the previously mentioned meaning,

with a fluorinating agent selected among:

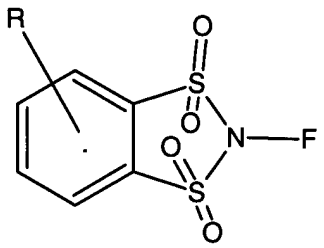
(i) an N-fluorosulfonimide of general formula (V)

(V)

where

R_{10} and R_{11}, equal or different, are C_{1-4} alkyl with one or more hydrogen atoms optionally substituted by halogen, or phenyl optionally substituted by C_{1-4} alkyl;

(ii) an N-fluorosulfonimide of general formula (VI)

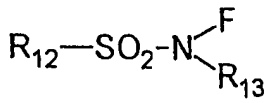


(VI)

where

R is a C_{1-6} alkyl radical; and

(iii) an N-fluorosulfonamide of general formula (VII)



(VII)

where

R_{12} is phenyl optionally substituted by C_{1-4} alkyl; and

R_{13} is H, C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl.

2. A process according to claim 1, for the production of a compound of formula (I) wherein the dotted line between positions 1 and 2 represents a double bond.

3. A process according to claim 1, for the production of a compound of formula (I) wherein R_1 is hydroxyl, acetate, pivalate, propionate, mesylate or chlorine.

4. A process according to claim 1, for the production of a compound of formula (I) presenting a 9β,11β-epoxy group in the C ring, or a double bond between positions 9 and 11 of the C ring.

5. A process according to claim 1, for the production of a compound of formula (I) wherein R_4 is H, αCH_3 or βCH_3.

6. A process according to claim 1, for the production of a compound of formula (I) containing an αOH group at position 17.

7. A process according to claim 1, for the production of a compound of formula (I) wherein R_5 and R_6 are, simultaneously, methyl.

8. A process according to claim 1, for the production of a compound of formula (I) wherein the dotted line between positions 1 and 2 represents a double bond, R_1 is hydroxyl, acetate, pivalate, propionate, mesylate or chlorine, has a 9β,11β-epoxy group in the C ring, R_4 is H, αCH_3 or βCH_3, and has an αOH group at position 17.

9. A process according to claim 1, for the production of a compound of formula (I) wherein the dotted line between positions 1 and 2 represents a double bond, R_1 is hydroxyl, acetate, pivalate, propionate, mesylate or chlorine, has a double bond between positions 9 and 11, R_4 is H, αCH_3 or βCH_3, and has an αOH group at position 17.

10. A process according to claim 1, wherein the reaction between the compound of formula (IV) and the fluorinating agent selected among the compounds of formula (V), (VI) and (VII) is carried out in an organic solvent selected among a halogenated organic solvent, an aromatic hydrocarbon, an ether and acetonitrile.

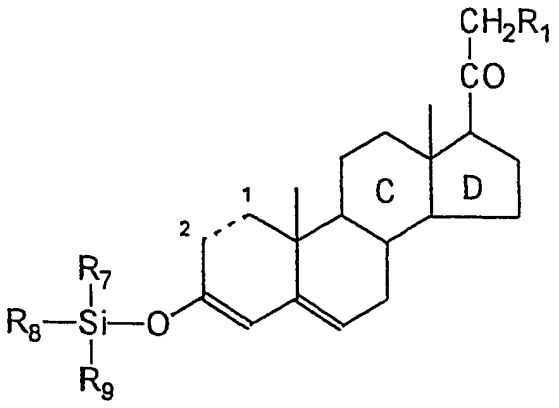
11. A process according to claim 10, wherein said halogenated organic solvent is methylene chloride, 1,2-dichloroethane or chloroform.

12. A process according to claim 1, wherein the reaction between the compound of formula (IV) and the fluorinating agent selected among the compounds of formula (V), (VI) and (VII) is carried out in the presence of a nitrogenated organic base.

13. A process according to claim 12, wherein the nitrogenated organic base is triazole, aminotriazole, imidazole or pyridine.

14. A process according to claim 1, wherein the reaction between the compound of formula (IV) and the fluorinating agent selected among the compounds of formula (V), (VI) and (VII) is carried out at a temperature comprised between –40°C and +20°C, preferably between –10°C and 0°C.

15. A compound of general formula (IV):



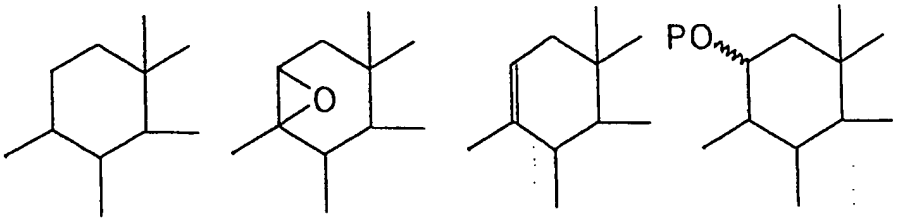
(IV)

where

the dotted line between positions 1 and 2 represents a single or double bond;

R_1 is OH, $OCOR_2$, X, SO_3R_3 or an $(R_7)(R_8)(R_9)SiO$- group, where X is halogen, R_2 and R_3 are C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl, and R_7, R_8 and R_9, equal or different, are C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl;

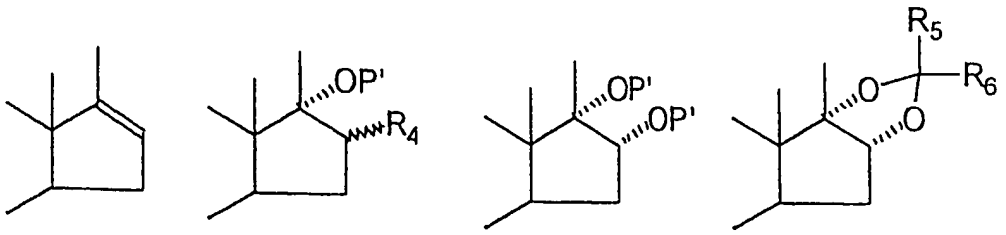
the C ring of the steroid is:



where

P is a protector group of the hydroxyl group; and

the D ring of the steroid is:



where

R_4 is H or CH_3 (α or β configuration);

R_5 and R_6, equal or different, are C_{1-4} alkyl; and

each P', independently, is H, a protector group of the hydroxyl or an $(R_7)(R_8)(R_9)Si$-group, where R_7, R_8 and R_9 have the previously mentioned meaning.

16. A compound according to claim 15, wherein the dotted line between positions 1 and 2 represents a double bond.

17. A compound according to claim 15, wherein R_1 is acetate, pivalate, propionate or mesylate.

18. A compound according to claim 15, having a 9β,11β-epoxy group in the C ring or a double bond between positions 9 and 11 of the C ring.

19. A compound according to claim 15, wherein R_4 is H, αCH_3 or βCH_3.

20. A compound according to claim 15, containing an αOH group at position 17.

21. A compound according to claim 15, wherein R_5 and R_6 are simultaneously methyl.

22. A compound according to claim 15, wherein two groups selected among R_7, R_8 and R_9 are simultaneously methyl and the other one is t-butyl, or wherein R_7, R_8 and R_9 are simultaneously isopropyl.

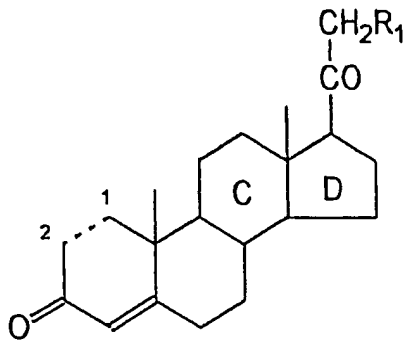
23. A compound according to claim 15, wherein the dotted line between positions 1 and 2 represents a double bond, R_1 is acetate, pivalate, propionate or mesylate, it has a 9β,11β-epoxy group in the C ring, R_4 is αCH_3 or βCH_3, it has an αOH group at position 17, two groups selected among R_7, R_8 and R_9 are simultaneously methyl and the other one is t-butyl, or R_7, R_8 and R_9 are simultaneously isopropyl.

24. A compound according to claim 15, wherein the dotted line between positions 1 and 2 represents a double bond, R_1 is acetate, pivalate, propionate or mesylate, it has a double bond

between positions 9 and 11, R_4 is αCH_3 or βCH_3, it has an αOH group at position 17, two groups selected among R_7, R_8 and R_9 are simultaneously methyl and the other one is t-butyl, or R_7, R_8 and R_9 are simultaneously isopropyl.

25. A compound according to claim 15, containing an $(R_7)(R_8)(R_9)SiO-$ group at position 16 and/or 21.

26. A process for obtaining a compound of formula (IV) according to claims 15, comprising reacting a pregnane derivative of general formula (II):



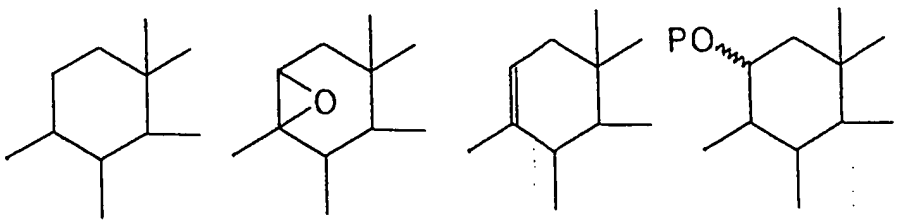
(II)

where

the dotted line between positions 1 and 2 represents a single or double bond;

R_1 is OH, $OCOR_2$, X, SO_3R_3, or an $(R_7)(R_8)(R_9)SiO-$ group, where X is halogen, R_2 and R_3 are C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl, and R_7, R_8 and R_9, equal or different, are C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl;

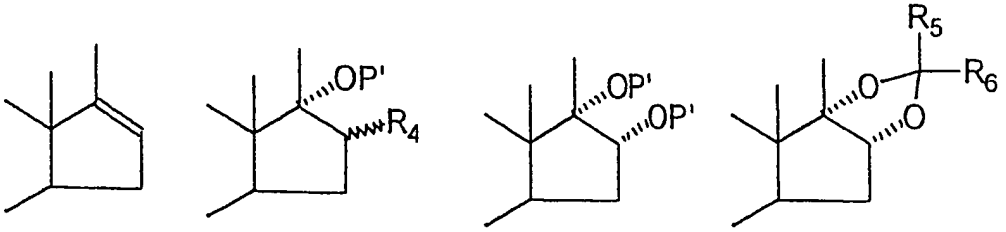
the C ring of the steroid is:



where

P is a protector group of the hydroxyl group; and

the D ring of the steroid is:



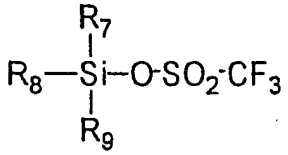
where

R_4 is H or CH_3 (α or β configuration);

R_5 and R_6, equal or different, are C_{1-4} alkyl; and

each P', independently, is H, a protector group of the hydroxyl or an $(R_7)(R_8)(R_9)Si$-group, where R_7, R_8 and R_9 have the previously mentioned meaning;

with a (trisubstituted)silyl trifluoromethanesulfonate of general formula (III):



(III)

where

R_7, R_8 and R_9 have the previously mentioned meaning.

27. A process according to claim 26, wherein said compound of formula (III) is t-butyldimethylsilyl trifluoromethanesulfonate or triisopropylsilyl trifluoromethanesulfonate.

28. A process according to claim 26, wherein the reaction between the compound of formula (II) and the compound of formula (III) is carried out in an organic solvent selected among a halogenated organic solvent, an ether and acetonitrile.

29. A process according to claim 28, wherein said halogenated solvent is dichloromethane or 1,2-dichloroethane.

30. A process according to claim 26, wherein the reaction between the compound of formula (II) and the compound of formula (III) is carried out in the presence of a nitrogenated organic base.

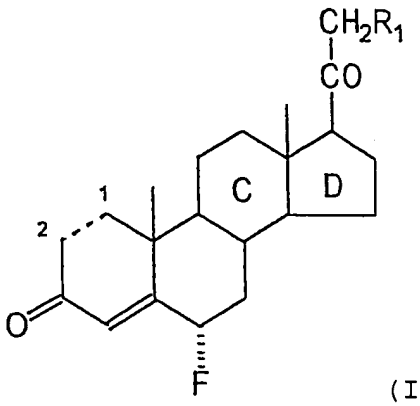
31. A process according to claim 30, wherein said nitrogenated organic base is diisopropylethylamine, triethylamine, lutidine or collidine.

32. A process according to claim 26, wherein the reaction between the compound of formula (II) and the compound of formula (III) is carried out at a temperature comprised between –20°C and 25°C, preferably between –10°C and 0°C.

33. A process according to claim 26, wherein the reaction between the compound of formula (II) and the compound of formula (III) is carried out at a compound (III):compound (II) molar ratio equal to or greater than 2 to obtain the disilylated derivative of the compound of formula (IV), or equal to or greater than 3 to obtain the trisilylated derivative of the compound of formula (IV).

34. A process according to claim 26, wherein the compound of formula (II) contains an $(R_7)(R_8)(R_9)SiO$- group, where R_7, R_8 and R_9, equal or different, are C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl at position 16 and/or 21, and the reaction between said compound of formula (II) and the compound of formula (III) is carried out at a suitable molar ratio to obtain the disilylated derivative or the trisilylated derivative of the compound of formula (IV).

35. A process for the production of 6α-fluorpregnane (I):



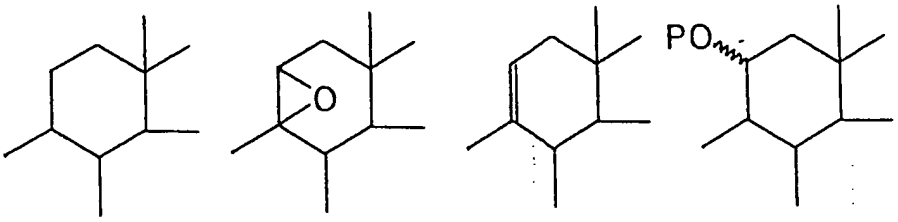
(I)

where

the dotted line between positions 1 and 2 represents a single or double bond;

R_1 is OH, $OCOR_2$, X, SO_3R_3, or an $(R_7)(R_8)(R_9)SiO$- group, where X is halogen, R_2 and R_3 are C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl, and R_7, R_8 and R_9, equal or different, are C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl;

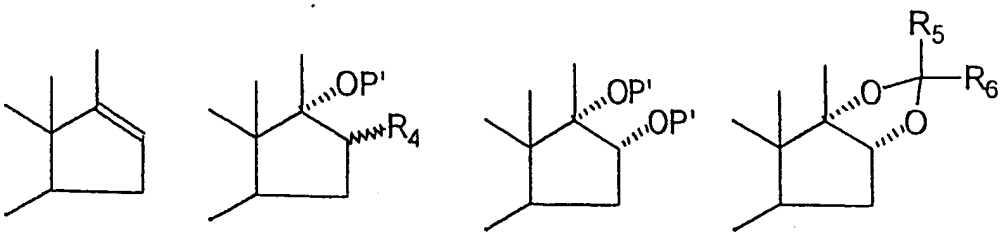
the C ring of the steroid is:



where

P is a protector group of the hydroxyl group; and

the D ring of the steroid is:



where

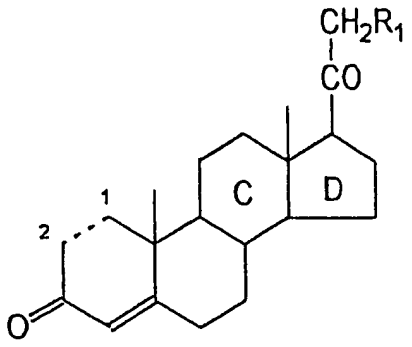
R_4 is H or CH_3 (α or β configuration);

R_5 and R_6, equal or different, are C_{1-4} alkyl; and

each P', independently, is H, a protector group of the hydroxyl or an $(R_7)(R_8)(R_9)Si$-] group, where R_7, R_8 and R_9 have the previously mentioned meaning;

comprising

a) reacting a pregnane derivative of general formula (II)

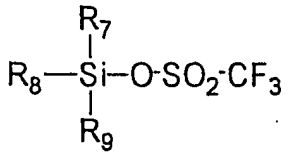


(II)

where

the dotted line between positions 1 and 2, R_1 and the C and D rings have the previously mentioned meanings,

with a (trisubstituted)silyl trifluoromethanesulfonate of general formula (III):

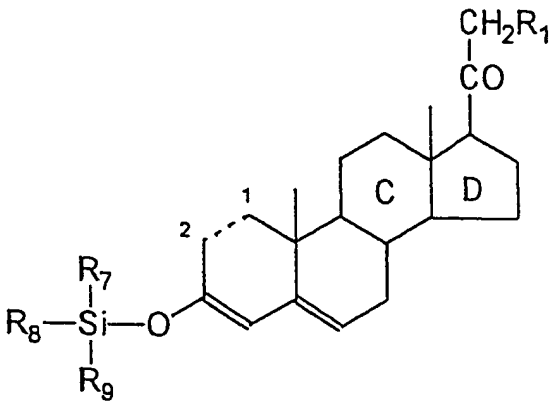


(III)

where

R_7, R_8 and R_9 have the previously mentioned meanings,

to obtain a compound of formula (IV)



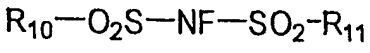
(IV)

where

the dotted line between positions 1 and 2, R_1, R_7, R_8, R_9, and the C and D rings have the previously mentioned meanings, and

b) reacting said compound of formula (IV) with a fluorinating agent selected among:

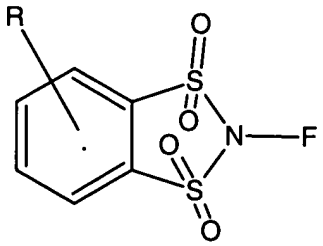
(i) an N-fluorosulfonimide of general formula (V)



(V)

where R_{10} and R_{11}, equal or different, are phenyl optionally substituted by C_{1-4} alkyl;

(ii) an N-fluorosulfonimide of general formula (VI)

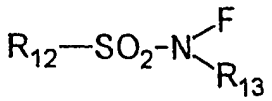


(VI)

where

R is C_{1-6} alkyl; and

(iii) an N-fluorosulfonamide of general formula (VII)



(VII)

where

R_{12} is phenyl optionally substituted by C_{1-4} alkyl; and

R_{13} is H, C_{1-6} alkyl or phenyl optionally substituted by C_{1-4} alkyl.

36. A process according to claim 35, comprising the isolation of the compound of formula (IV) formed by reaction of the compound of formula (II) with the compound of formula (III) prior to its reaction with the fluorinating agent.

37. A process according to claim 35, wherein the reaction of the compound of formula (IV) with the compound of formula (V), (VI) or (VII) takes place without the isolation of the compound of formula (IV) formed by reaction of the compound of formula (II) with the compound of formula (III).

38. A process according to claim 37, comprising the removal of the water soluble contaminants generated after the reaction of the compound of formula (II) with the compound of formula (III) to form the compound of formula (IV) and prior to the reaction of the latter with the compound of formula (V), (VI) or (VII).